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(54) Title: BENZAMIDES AND RELATED INHIBITORS OF FACTOR Xa

(57) Abstract: Compounds of the formula A - Q - D - E - G - J - X in which D is a direct link, a substituted or unsubstituted phenyl or naphthyl group or a heterocyclic ring system; X is a substituted or unsubstituted phenyl or naphthyl group or a heterocyclic system; and the other variables are as defined in the claims, their salts and compositions related thereto having activity against mammalian factor Xa are disclosed. The compounds are useful in vitro or in vivo for preventing or treating coagulation disorders.

# INTERNATIONAL SEARCH REPORT

International Application No

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<b>A. CLASSIFICATION OF SUBJECT MATTER</b> IPC 7 C07C311/16 C07C311/46 C07C317/40 C07C317/44 C07D213/75 C07D213/81 C07D213/82 C07D217/22 C07D333/38 C07D401/12 C07D409/12 C07D409/14 C07D417/12 A61K31/18 A61K31/33 According to International Patent Classification (IPC) or to both national classification and IPC		
<b>B. FIELDS SEARCHED</b> Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07C C07D A61K A61P Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) BEILSTEIN Data, WPI Data, EPO-Internal, PAJ, CHEM ABS Data		
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98 57934 A (DU PONT MERCK PHARMACEUTICAL) 23 December 1998 (1998-12-23) page 2 -page 8; examples; table 1	1-3, 27-42
X	WO 98 06694 A (DU PONT MERCK PHARMACEUTICAL) 19 February 1998 (1998-02-19) page 2 -page 7; examples; table 1A	1-3, 27-42
A	WO 98 28282 A (DU PONT MERCK PHARMACEUTICAL) 2 July 1998 (1998-07-02) page 3 -page 9; examples; table 1	1-42
A	WO 98 28269 A (DU PONT MERCK PHARMACEUTICAL) 2 July 1998 (1998-07-02) page 3 -page 9; examples; table 1A -/-	1-42
<input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex.		
* Special categories of cited documents : *A* document defining the general state of the art which is not considered to be of particular relevance *E* earlier document but published on or after the international filing date *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) *O* document referring to an oral disclosure, use, exhibition or other means *P* document published prior to the international filing date but later than the priority date claimed *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art *&* document member of the same patent family		
Date of the actual completion of the international search 26 February 2001		Date of mailing of the international search report 12/03/2001
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer ENGLISH, R

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A. CLASSIFICATION OF SUBJECT MATTER  
IPC 7 A61P7/02

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	J.D. YOUNG, ET AL.: "Interannular interactions in para-substituted diphenylmethane anion redicals" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 94, no. 25, 13 December 1972 (1972-12-13), pages 8790-8794, XP002161109 American Chemical Society, Washington, DC, US ISSN: 0002-7863 compound 3b  --- -/--	1

☒ Further documents are listed in the continuation of box C.

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- \*&\* document member of the same patent family

Date of the actual completion of the international search

26 February 2001

Date of mailing of the international search report

Name and mailing address of the ISA

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International Application No  
PCT/US 00/25196

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	H. SUZUKI, ET AL.: "Selective reduction with lithium aluminium hydride / diphosphorus tetraiodide" CHEMISTRY LETTERS, no. 6, June 1983 (1983-06), pages 909-910, XP002161110 Chemical Society of Japan, Tokyo, JP ISSN: 0366-7022 table 1, entries 5,14	1
X	US 2 095 619 A (W.C. STOEßER, ET AL.) 12 October 1937 (1937-10-12) examples 1-6	1
X	S. GOLDSCHMIDT, ET AL.: "Biphenyl derivatives II. Basic 4-biphenyl compounds" RECUEIL DES TRAVAUX CHIMIQUES DES PAYS-BAS., vol. 69, no. 9/10, September 1950 (1950-09), pages 1109-1117, XP002161111 Elsevier Science Publishers, Amsterdam, NL ISSN: 0165-0513 table I	1
X	W.F. COCKBURN, ET AL.: "Molecular rearrangement of tertiary amines. Part I" JOURNAL OF THE CHEMICAL SOCIETY, no. 8, August 1960 (1960-08), pages 3340-3346, XP002161112 Royal Society of Chemistry, Letchworth, GB page 3343, line 4 - line 5	1

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-3 (in part)

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty. So many documents were retrieved that it is impossible to determine which part(s) of the claim(s) may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT).

For these reasons it appears impossible to execute a meaningful search and /or to issue a complete search report over the whole breadth of the claim(s). The search and the report for those claims can only be considered complete for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds as indicated in the relevant examples (1-287) and claims 4-26.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9857934 A	23-12-1998	AU 7976998 A EP 0993448 A	04-01-1999 19-04-2000
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